

(19) World Intellectual Property Organization International Bureau



(43) International Publication Date  
16 June 2005 (16.06.2005)

PCT

(10) International Publication Number  
**WO 2005/054267 A1**

(51) International Patent Classification<sup>7</sup>: C07H 17/04, C07D 309/30, 309/32, 309/36, A01N 43/16, A61K 31/7048, A61P 35/00, 31/04

(21) International Application Number:  
PCT/EP2003/013406

(22) International Filing Date:  
28 November 2003 (28.11.2003)

(25) Filing Language: English

(26) Publication Language: English

(71) Applicant (for all designated States except US): UNIVERSITE CATHOLIQUE DE LOUVAIN [BE/BE]; Place de l'Université 1, B-1348 Louvain-La-Neuve (BE).

(72) Inventors; and

(75) Inventors/Applicants (for US only): MATHIEU, Benoit [BE/BE]; Square G. Golinvaux 4, B-1160 Bruxelles (BE). DE HOFFMANN, Edmond [BE/BE]; Grand Place 33 - 201, B-1348 Louvain-La-Neuve (BE). VAN HOVE, Charles [BE/BE]; rue des Coquerées 35, B-1341 Céroux-Mousty (BE).

(74) Agent: BRANTS, Johan, Philippe, Emile; De Clercq, Brants & Partners, E. Gevaertdreef 10a, B-9830 Sint-Martens-Latem (BE).

(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (regional): ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

#### Declaration under Rule 4.17:

— of inventorship (Rule 4.17(iv)) for US only

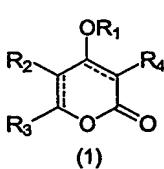
#### Published:

— with international search report

*For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*

WO 2005/054267 A1

(54) Title: GLYCOSYLATED TRIKETIDE DELTA LACTONES



(57) Abstract: The present invention relates to compounds of formula (1) and salts, stereoisomeric forms, racemic mixtures, prodrugs, esters and metabolites thereof, wherein R1 is a glycosyl moiety, hydroxyl-protected acetate derivatives thereof or amino derivatives thereof; R2, R3 and R4 are, each independently, selected from the group comprising hydrogen, C1-6alkyl, C2-20alkenyl, C6-20arylalkyl, C3-7cycloalkyl, C3-7cyclocloalkylC1-6alkyl, C6-20aryl aralkyl, alkylcarbonyloxy, arylcarbonyloxy, alkyloxy, alkylthio, alkylamino, alkyloxyalkyl, alkanoyl, alkylcarbonylalkyl, optionally substituted by one or more substituents independently selected from the group comprising alkyl, aralkyl, aryl, cycloalkyl, alkylcarbonyl, carboxyl, aminocarbonyl, hydroxy, cyano, halogen or amino optionally mono- or disubstituted wherein the substituents are independently selected from the group comprising alkyl, aryl, aralkyl, aryloxy, arylamino, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkoxy.